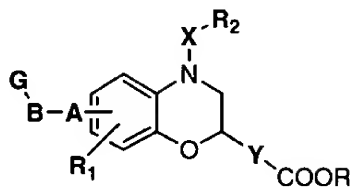


CLAIMS

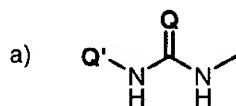
1. A compound of the formula (I)



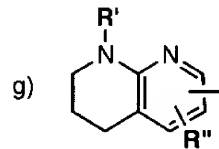
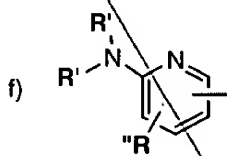
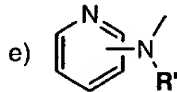
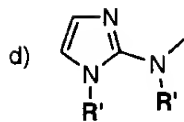
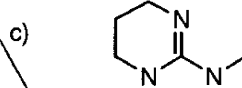
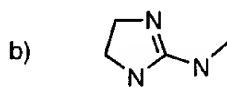
(I)

or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein:

G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, and phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl;



wherein **R'** and **R''** are independently H or C<sub>1</sub>-C<sub>4</sub>-alkyl;

B is C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>2</sub>-C<sub>4</sub> alkenyl;

A is selected from the group consisting of CH<sub>2</sub>, O, S(O)<sub>p</sub>, wherein p is zero, 1 or 2, NH, a group CON(R''') or N(R''')CO wherein R''' is hydrogen or CH<sub>3</sub>;

R<sub>1</sub> is selected from the group consisting of H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, OH, halogen, and CF<sub>3</sub>;

X is (C=O)<sub>m</sub> wherein m is 0 or 1 ;

R<sub>2</sub> is selected from the group consisting of H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkylcycloalkyl; aryl unsubstituted or optionally substituted by one to three substituents independently selected from halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub>

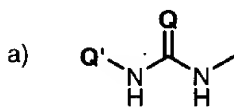
A1  
cont

alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy; aralkyl; and C<sub>5</sub>-C<sub>7</sub> monocyclic heteroaryl ring containing one to three heteroatoms selected from O, S, and N, unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy;

Y is  $(\text{CH}_2)_n$  wherein n is 1 or 2;

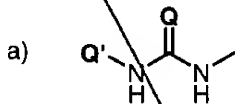
R is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, aryl or aryl-C<sub>1</sub>-C<sub>4</sub> alkyl.

With the proviso that  $m$  can not be 0 when  $G$  is :

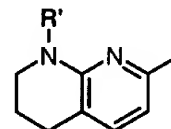
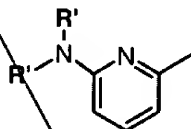
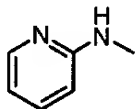
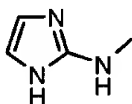
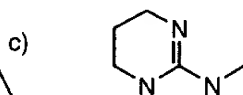
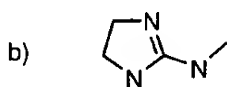


wherein Q' is H and Q is O and X is (C=O)<sub>m</sub>.

2. A compound according to claim 1, wherein G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, and phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl;



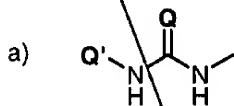
wherein **R'** is independently H or C<sub>1</sub>-C<sub>4</sub>-alkyl;

B is  $(CH_2)_q$  wherein q is 2, 3 or 4;

**R<sub>2</sub> is a phenyl by one to three substituents independently selected from halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents**

independently selected from the group consisting of halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy.

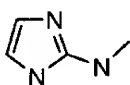
With the proviso that m can not be 0 when G is :



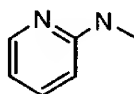
wherein Q' is H and Q is O and X is (C=O)<sub>m</sub>.

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3. A compound according to claim 1, wherein  
G is selected from the group consisting of



OR



10

B is (CH<sub>2</sub>)<sub>q</sub> wherein q is 2, 3 or 4;

R<sub>2</sub> is a phenyl by one to three substituents independently selected from halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy.

15

4. The compound as recited in claim 1 wherein the compound is selected from the group consisting of

20

(4-phenyl-6-([3-(2-pyridinylamino)propanoyl]amino)-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-([4-(2-pyridinylamino)butanoyl]amino)-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

25

(4-phenyl-6-([5-(2-pyridinylamino)pentanoyl]amino)-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-([3-(1H-imidazol-2-ylamino)propanoyl]amino)-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-([4-(1H-imidazol-2-ylamino)butanoyl]amino)-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

30

(4-methyl-6-[[3-(2-pyridinylamino)propanoyl] amino)-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

5 (4-methyl-6-[[4-(2-pyridinylamino)butanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-[[5-(2-pyridinylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid:

(4-methyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

15 (4-cyclopropylmethyl-6-[[3-(2-pyridinylamino)propanoyl] amino]-3,4-dihydro-  
2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-[[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

20 (4-cyclopropylmethyl-6-[[5-(2-pyridinylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

25 (4-cyclopropylmethyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl] amino)-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-[[3-(2-pyridinylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid:

30 (4-cyclohexylmethyl-6-[[4-(2-pyridinylamino)butanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-[[5-(2-pyridinylamino)pentanoyl] amino)-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-

Sub

Figure 1 consists of 12 histograms arranged in a single row. Each histogram represents the distribution of the number of non-zero elements in the vector  $x$  for a specific value of  $n$ . The x-axis for all histograms is labeled 'x' and ranges from 0 to 120. The y-axis is labeled 'count' and ranges from 0 to 100. The histograms are for  $n = 10, 20, 30, 40, 50, 60, 70, 80, 90, 100, 110, 120$ . As  $n$  increases, the distribution of non-zero elements shifts to the right, indicating that more elements in the vector  $x$  are non-zero for larger values of  $n$ . The peak count for each distribution decreases as  $n$  increases.

~~dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
 (4-cyclohexylmethyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-  
 dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
 (4-cyclohexylmethyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl] amino]-3,4-  
 dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
 (4-benzyl-6-[[3-(2-pyridinylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-  
 benzoxazin-2-yl)acetic acid;  
 (4-benzyl-6-[[4-(2-pyridinylamino)butanoyl] amino]-3,4-dihydro-2H-1,4-  
 benzoxazin-2-yl)acetic acid;  
 (4-benzyl-6-[[5-(2-pyridinylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-  
 benzoxazin-2-yl)acetic acid;  
 (4-benzyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl] amino]-3,4-dihydro-2H-  
 1,4-benzoxazin-2-yl)acetic acid;  
 (4-benzyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-  
 benzoxazin-2-yl)acetic acid;  
 (4-benzyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl] amino]-3,4-dihydro-2H-  
 1,4-benzoxazin-2-yl)acetic acid;  
 (4-benzoyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-  
 benzoxazin-2-yl)acetic acid;  
 (4-benzoyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-  
 benzoxazin-2-yl)acetic acid;  
 (4-benzoyl-6-[[5-(2-pyridinylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-  
 benzoxazin-2-yl)acetic acid;  
 (4-benzoyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl]amino]-3,4-dihydro-2H-  
 1,4-benzoxazin-2-yl)acetic acid;  
 (4-benzoyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-  
 1,4-benzoxazin-2-yl)acetic acid;  
 (4-benzoyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl]amino]-3,4-dihydro-2H-  
 1,4-benzoxazin-2-yl)acetic acid;  
 (4-nicotinoyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-  
 benzoxazin-2-yl)acetic acid;  
 (4-nicotinoyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-  
 benzoxazin-2-yl)acetic acid;~~

(4-nicotinoyl-6-{{5-(2-pyridinylamino)pentanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

5 (4-nicotinoyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

10 [4-phenyl-6-{{2-(2-pyridinylamino)ethylamino}carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-{{3-(2-pyridinylamino)propylamino}carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

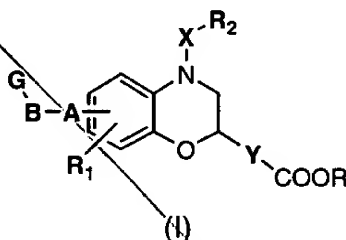
[4-phenyl-6-{{4-(2-pyridinylamino)butylamino}carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

15 [4-phenyl-6-{{2-(1H-imidazol-2-ylamino)ethylamino}carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-{{3-(1H-imidazol-2-ylamino)propylamino}carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

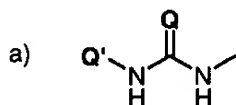
20 [4-phenyl-6-{{4-(1H-imidazol-2-ylamino)butylamino}carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

5. A pharmaceutical composition comprising a therapeutically effective amount of the compound of the formula (I):



or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein:

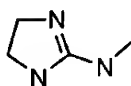
G is selected from the group consisting of



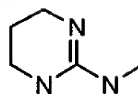
wherein Q is NH or O and Q' is selected from the group consisting of H,

C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, and phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl;

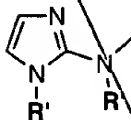
b)



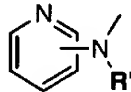
c)



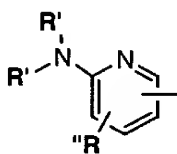
d)



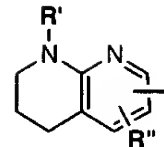
e)



f)



g)



wherein R' and R'' are independently H or C<sub>1</sub>-C<sub>4</sub>-alkyl;

B is C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>2</sub>-C<sub>4</sub> alkenyl;

A is selected from the group consisting of CH<sub>2</sub>, O, S(O)<sub>p</sub> wherein p is zero, 1 or 2, NH, a group CON(R''') or N(R''')CO wherein R''' is hydrogen or CH<sub>3</sub>;

R<sub>1</sub> is selected from the group consisting of H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, OH, halogen, and CF<sub>3</sub>;

X is (C=O)<sub>m</sub> wherein m is 0 or 1 ;

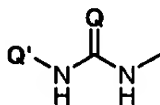
R<sub>2</sub> is selected from the group consisting of H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkylcycloalkyl; aryl unsubstituted or optionally substituted by one to three substituents independently selected from halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy; aralkyl; and C<sub>5</sub>-C<sub>7</sub> monocyclic heteroaryl ring containing one to three heteroatoms selected from O, S, and N, unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy;

Y is (CH<sub>2</sub>)<sub>n</sub> wherein n is 1 or 2;

R is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, aryl or aryl-C<sub>1</sub>-C<sub>4</sub> alkyl.

With the proviso that m can not be 0 when G is :

a)



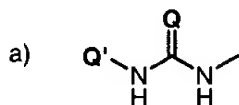
wherein Q' is H and Q is O and X is (C=O)<sub>m</sub>.

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6. A pharmaceutical composition of claim 5 wherein :

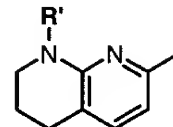
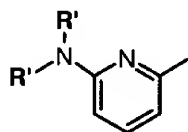
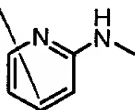
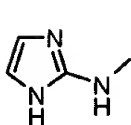
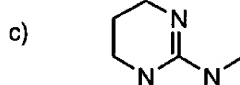
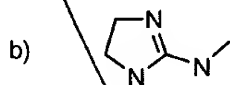
Sub  
B1

G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, and phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl;

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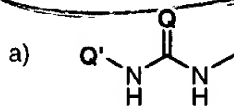
wherein R' is independently H or C<sub>1</sub>-C<sub>4</sub>-alkyl;

B is (CH<sub>2</sub>)<sub>q</sub> wherein q is 2, 3 or 4;

R<sub>2</sub> is a phenyl by one to three substituents independently selected from halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy.

15

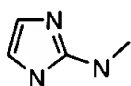
With the proviso that m can not be 0 when G is :



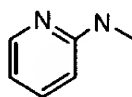
wherein Q' is H and Q is O and X is (C=O)<sub>m</sub>.

20 7. A pharmaceutical composition of claim 5 wherein :

G is selected from the group consisting of



OR



25

B is (CH<sub>2</sub>)<sub>q</sub> wherein q is 2, 3 or 4;



5 R<sub>2</sub> is a phenyl by one to three substituents independently selected from halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy.

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10 8. A pharmaceutical composition comprising a therapeutically effective amount of a compound or a pharmaceutically acceptable salt, prodrug or ester thereof as recited in claim 5 wherein the compound is selected from the group consisting of

15 (4-phenyl-6-{{3-(2-pyridinylamino)propanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-phenyl-6-{{4-(2-pyridinylamino)butanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
20 (4-phenyl-6-{{5-(2-pyridinylamino)pentanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-phenyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-phenyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
25 (4-phenyl-6-{{5-(1H-imidazol-2-ylamino)pentanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-methyl-6-{{3-(2-pyridinylamino)propanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-methyl-6-{{4-(2-pyridinylamino)butanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-methyl-6-{{5-(2-pyridinylamino)pentanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
30 (4-methyl-6-{{3-(1H-imidazol-2-ylamino)propanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-methyl-6-{{4-(1H-imidazol-2-ylamino)butanoyl}amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-[[3-(2-pyridinylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-[[5-(2-pyridinylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-[[3-(2-pyridinylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-[[5-(2-pyridinylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-[[3-(2-pyridinylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-[[5-(2-pyridinylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-([3-(1H-imidazol-2-ylamino)propanoyl] amino)-3,4-dihydro-2H-

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[illegible]

1,4-benzoxazin-2-yl)acetic acid;  
(4-benzyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-benzyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-benzoyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-benzoyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-benzoyl-6-[[5-(2-pyridinylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-benzoyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-benzoyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-benzoyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-nicotinoyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-nicotinoyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-nicotinoyl-6-[[5-(2-pyridinylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-nicotinoyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-nicotinoyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-nicotinoyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
[4-phenyl-6-[[2-(2-pyridinylamino)ethylamino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
[4-phenyl-6-[[3-(2-pyridinylamino)propylamino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

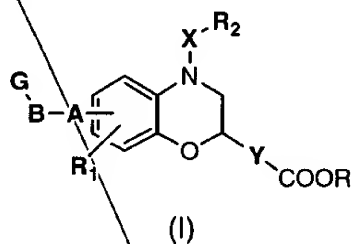
[4-phenyl-6-[[4-(2-pyridinylamino)butylamino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-[[2-(1H-imidazol-2-ylamino)ethylamino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

5 [4-phenyl-6-[[3-(1H-imidazol-2-ylamino)propylamino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

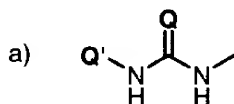
[4-phenyl-6-[[4-(1H-imidazol-2-ylamino)butylamino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid.

- 10 9. A method for treating a condition mediated by the  $\alpha_v\beta_3$  integrin in a mammal in need of such treatment, including a human, comprising administering to said mammal an effective  $\alpha_v\beta_3$  inhibiting amount of a compound of the formula (I)

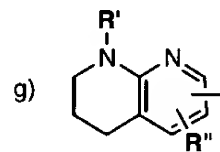
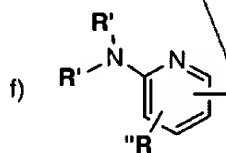
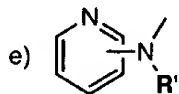
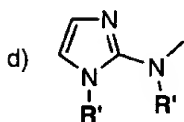
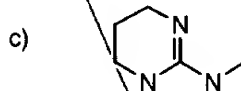
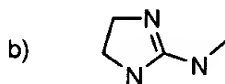


wherein:

G is selected from the group consisting of



20 wherein Q is NH or O and Q' is selected from the group consisting of H, C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, and phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl;



A is selected from the group consisting of CH<sub>2</sub>, O, S(O)<sub>p</sub> wherein p is zero, 1 or 2, NH, a group CON(R''') or N(R''')CO wherein R''' is hydrogen or CH<sub>3</sub>;

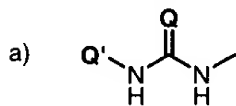
X is  $(C=O)_m$  wherein m is 0 or 1 ;

R<sub>2</sub> is selected from the group consisting of H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkylcycloalkyl; aryl unsubstituted or optionally substituted by one to three substituents independently selected from halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy; aralkyl; and C<sub>5</sub>-C<sub>7</sub> monocyclic heteroaryl ring containing one to three heteroatoms selected from O, S, and N, unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy;

Y is  $(CH_2)_n$  wherein n is 1 or 2;

R is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, aryl or aryl-C<sub>1</sub>-C<sub>4</sub> alkyl.

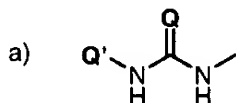
20 With the proviso that  $m$  can not be 0 when  $G$  is :



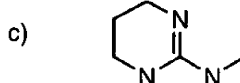
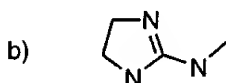
wherein Q' is H and Q is O and X is (C=O)<sub>m</sub>.

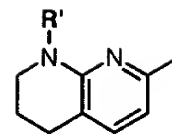
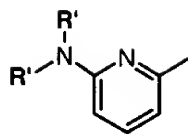
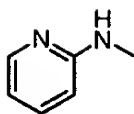
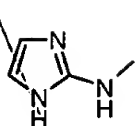
10. The method of claim 9 wherein :

G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, and phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl;



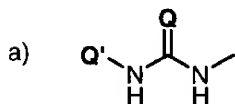


wherein, **R'** is independently H or C<sub>1</sub>-C<sub>4</sub>-alkyl;

5 B is  $(CH_2)_q$  wherein q is 2, 3 or 4;

R<sub>2</sub> is a phenyl by one to three substituents independently selected from halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy.

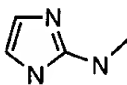
With the proviso that  $m$  can not be 0 when  $G$  is :



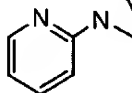
wherein Q' is H and Q is O and X is (C=O)<sub>m</sub>.

11. The method of claim 9 wherein:

15 G is selected from the group consisting of



**OR**



**B** is  $(\text{CH}_2)_q$  wherein  $q$  is 2, 3 or 4;

20 R<sub>2</sub> is a phenyl by one to three substituents, independently selected from halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF<sub>3</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy and C<sub>1</sub>-C<sub>4</sub> alkoxy.

25

12. The method according to claim 9 wherein the compound is selected from the group consisting of

(4-phenyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-phenyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-phenyl-6-[[5-(2-pyridinylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-phenyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-phenyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-phenyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-methyl-6-[[3-(2-pyridinylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-methyl-6-[[4-(2-pyridinylamino)butanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-methyl-6-[[5-(2-pyridinylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-methyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-methyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-methyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-cyclopropylmethyl-6-[[3-(2-pyridinylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-cyclopropylmethyl-6-[[4-(2-pyridinylamino)butanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-cyclopropylmethyl-6-[[5-(2-pyridinylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-cyclopropylmethyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

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- 5 (4-cyclopropylmethyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-cyclopropylmethyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
5 (4-cyclohexylmethyl-6-[[3-(2-pyridinylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-cyclohexylmethyl-6-[[4-(2-pyridinylamino)butanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
10 (4-cyclohexylmethyl-6-[[5-(2-pyridinylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-cyclohexylmethyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-cyclohexylmethyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
15 (4-cyclohexylmethyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-benzyl-6-[[3-(2-pyridinylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-benzyl-6-[[4-(2-pyridinylamino)butanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
20 (4-benzyl-6-[[5-(2-pyridinylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-benzyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
25 (4-benzyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-benzyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl] amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-benzoyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
30 (4-benzoyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;  
(4-benzoyl-6-[[5-(2-pyridinylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-

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(benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-[[3-(2-pyridinylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-[[4-(2-pyridinylamino)butanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-[[5-(2-pyridinylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-[[3-(1H-imidazol-2-ylamino)propanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-[[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-[[5-(1H-imidazol-2-ylamino)pentanoyl]amino]-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

[4-phenyl-6-[[2-(2-pyridinylamino)ethylamino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-[[3-(2-pyridinylamino)propylamino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-[[4-(2-pyridinylamino)butylamino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-[[2-(1H-imidazol-2-ylamino)ethylamino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-[(3-(1H-imidazol-2-ylamino)propylamino)carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-[[4-(1H-imidazol-2-ylamino)butylamino]carbonyl]-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid.

13. The method according to claim 9 wherein the condition treated is bone

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17. A product containing a compound of formula (I) as defined in claim 1 or a pharmaceutically acceptable salt thereof, and an effective antineoplastic amount of additional antitumor agent as a combined preparation for simultaneous, separate or sequential use in anti-cancer therapy.

18. The product according to claim 17, wherein the additional antitumor agent is selected from an antineoplastic topoisomerase II inhibitor, an antineoplastic antimicrotubule agent, an antineoplastic alkylating agent, an



antimetabolite

antineoplastic antimetabolite and an antineoplastic topoisomerase I inhibitor.

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